Intermediate of Peptide Hydrolysis

Hydroxyethylamine-Based Inhibitors

FIG. 2

 $\tilde{b}^3 \cdots \tilde{b}^5 = \tilde{b}^7 - \tilde{b}^{7}_1 - \tilde{b}^{7}_1 - \tilde{b}^{7}_1 - \tilde{b}^{3}_1$

Pour conformational families

BUTLDERPOC (c)

FIG. 3

 ${f R_1}$ substituent

FIG. 4A

FIG. 4B

FIG. 4C

 R_1 substituent

 R_2 substituent

FYG. SC

 R_{1} substituent

 R_2 substituent

FIG. 6B

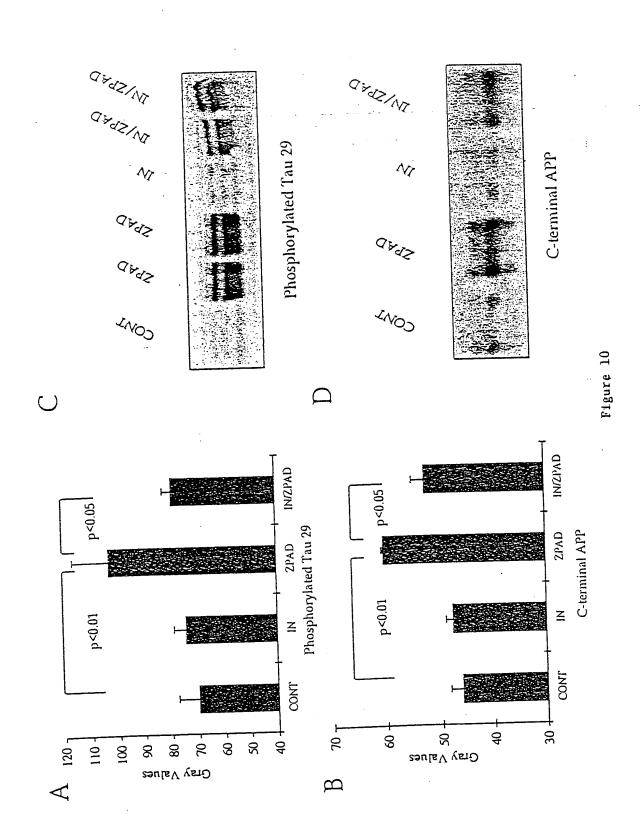
*2a - (S)-epimer 2b - (R)-epimer

*1a = (S)-epimer 1b = (R)-epimer

85:15 major diastercomer shown

2. R3CO2H, HOM, pynop, NMP 1. Shch, Pasil, Ban, Thp Rs 3. TPA, CIPCI2 ź 1. R₁NH2, NMP, 80° C 2. R₂CO₂H, HOAJ, PYBOP, NMP or R2NCO, NMP

F1G. 8



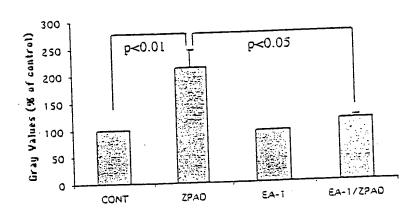


Figure 11

Table 1 Cathepsin D inhibitors

	Name	Structures	Molecular Weight	Ki (nM)
The first flows with find with flows of the	CEL5-A	OMe CI H OH N N N N N N N N N N N N N N N N N	889.6 ·	0.7
	CEL5-G	PbO O O O O O O O O O O O O O O O O O O	697.2	15
	EA-1	CI OH NOH NOH NO	650	1.9

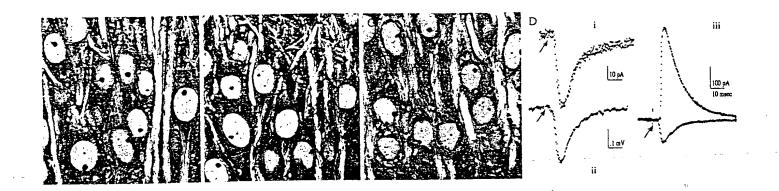


FIG. 13



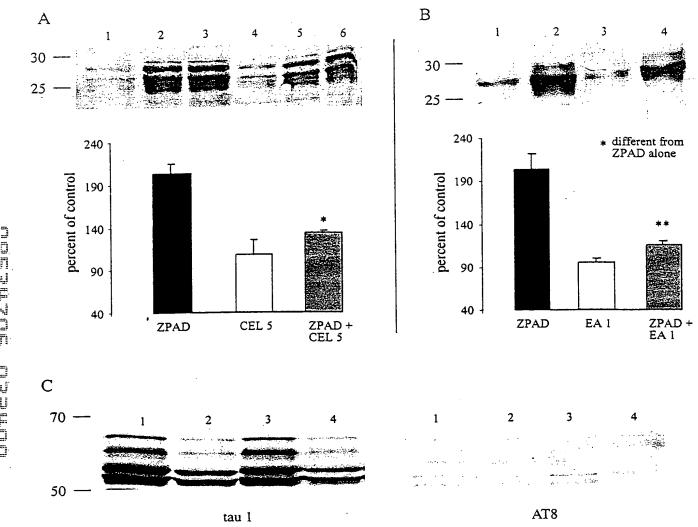


FIG. 14

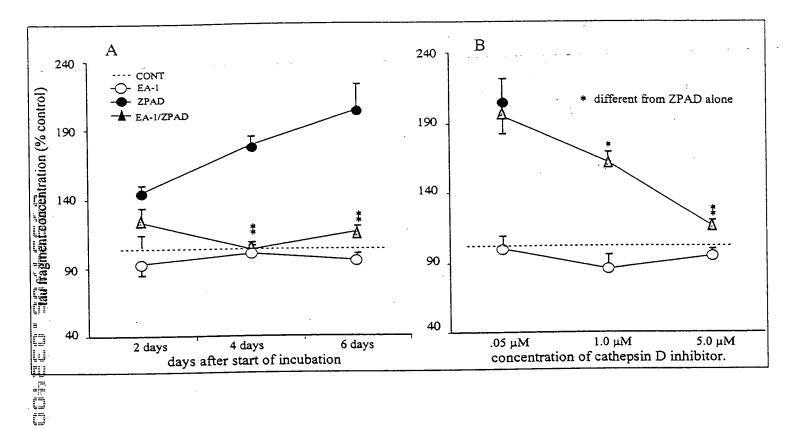
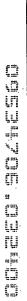


FIG. 15



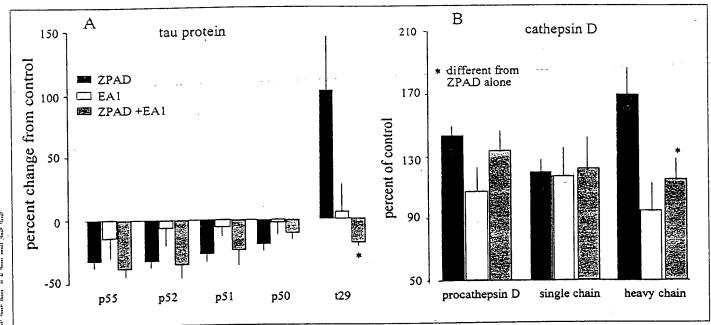


FIG. 16